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File: USPT

DOCUMENT-IDENTIFIER: US 5451668 A

TITLE: Process for splitting off .DELTA..sup.4 -unsaturated uronic acid from glycosaminoglycans

Brief Summary Text (4):

Methods are also known in which the .DELTA..sup.4 -unsaturated uronic acid is split off with the aid of enzymes, such as glucuronidases, which, for example, occur in the Flavobacterium Heparinum complex. This method has the disadvantage that not all types of glycosaminoglycans react with this type of enzymes, so that the use of this method is restricted. Furthermore, great difficulties can be encountered in removing the enzymes from the end products, and obtaining pure enzymes is a difficult and costly process.

Brief Summary Text (8):

The degradation can be carried out in various ways, for example by basic elimination of heparin esters, but usually the glycosaminoglycans are degraded with the aid of enzymes. Examples are heparin lyase for heparin, K5 lyase for K5 antigen, chondroitinase AC or ABC for hyaluronic acid, chondroitin sulphate and dermatan sulphate, and heparitin lyase for heparin sulphate.

Brief Summary Text (13):

The products which are obtained in accordance with this procedure are active constituents without undesired immunogenic action for use in pharmaceutical preparations which are used in combatting, inter alia, thrombosis, angiogenesis, cancer, AIDS and diseases of the immune system. Preparations of this type are suitable for enteral and parenteral administration, for example in the form of a tablet, pill, suppository, injection, infusion and the like.

Detailed Description Text (17):

Dermatan sulphate (7 mg) degraded with chondroitinase ABC was dissolved in 1 ml of distilled water and, in a manner analogous to that in Example 1, treated with 1 ml of an iodine solution (5 mg/ml of KI and 3 mg/ml of I.sub.2) and bleached. In the NMR spectrum the peak at .delta. 6,0 has completely disappeared.

CLAIMS:

1. A method for splitting off delta.sup.4 -unsaturated uronic acid from glycosaminoglycan degradation products, consisting essentially of degrading a glycosaminoglycan with an enzyme thereby forming a glycosaminoglycan degradation fragment containing a delta.sup.4 -unsaturated uronic acid, reacting said fragment, until the NMR peak at delta 6.0 has disappeared, with a reagent selected from the group consisting of an iodine solution, peroxides, permanganates, perchlorates and a combination thereof, wherein the weight ratio of said glycosaminoglycan fragment:I2 is from 1:10 to 10:1 and the weight ratio of said glycosaminoglycan fragment:peroxide, permanganate or perchlorate is from 15:1 to 1:5, thereby obtaining a glycosaminoglycan fragment without a delta.sup.4 -unsaturated uronic acid.

6. A method according to claim 5, wherein the enzyme is heparin lyase.